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LOGINID:ssspta1612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                 EXTEND option available in structure searching
NEWS
        May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS
         May 12
NEWS
        May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
                 CAplus super roles and document types searchable in REGISTRY
         May 27
NEWS
     6
         Jun 28
                 Additional enzyme-catalyzed reactions added to CASREACT
NEWS
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
NEWS
         Jun 28
                 and WATER from CSA now available on STN(R)
NEWS
         Jul 12
                 BEILSTEIN enhanced with new display and select options,
                 resulting in a closer connection to BABS
NEWS 10
         Jul 30
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
                 with the 228th ACS National Meeting
NEWS 11
         AUG 02
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                 fields
NEWS 12
         AUG 02
                 CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
                 STN User Update to be held August 22 in conjunction with the
NEWS 13
         AUG 02
                 228th ACS National Meeting
NEWS 14
         AUG 02
                 The Analysis Edition of STN Express with Discover!
                 (Version 7.01 for Windows) now available
                 Pricing for the Save Answers for SciFinder Wizard within
NEWS 15
         AUG 04
                 STN Express with Discover! will change September 1, 2004
NEWS EXPRESS
              JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
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              Welcome Banner and News Items
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              CAS World Wide Web Site (general information)
NEWS WWW
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 18:18:45 ON 20 AUG 2004

=> file registry COST IN U.S. DOLLARS

TOTAL SINCE FILE SESSION ENTRY 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:18:54 ON 20 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

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HIGHEST RN 728239-10-9 STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9 DICTIONARY FILE UPDATES: 18 AUG 2004

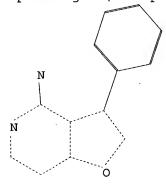
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

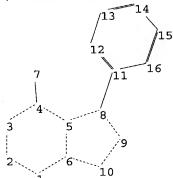
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Stnexp4 corrupted\QUERIES\10626092.str





chain nodes :

ring nodes :

2 3 4 5 6 8 9 10 11 12 13 14 15 16

chain bonds : 4-7 8-11

ring bonds :

8 - 9 9-10 11-12 11-16 12-13 13-14 1-2 1-6 2-3 3 - 45-6 5-8 6 - 10

14-15 15-16

exact/norm bonds :

1-2 1-6 2-3 4 - 7 5-6 5-8 6-10 3 – 4 4 - 5

exact bonds :

8-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

STRUCTURE UPLOADED L1

=> d 11

L1 HAS NO ANSWERS

L1STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 18:19:10 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED

232 ITERATIONS

13 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3727 TO

5553

PROJECTED ANSWERS:

44 TO

L2

13 SEA SSS SAM L1

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.42

0.63

STN INTERNATIONAL LOGOFF AT 18:19:39 ON 20 AUG 2004

Connecting via Winsock to STN

10/626092

```
Welcome to STN International! Enter x:x
LOGINID:ssspta1612rxd
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
                     Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                 INPADOC: New family current-awareness alert (SDI) available
NEWS
      3 SEP 01
     4 SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
NEWS
                 STN Express with Discover!
                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS
        SEP 01
      6 SEP 27 STANDARDS will no longer be available on STN
NEWS
      7 SEP 27
                 SWETSCAN will no longer be available on STN
NEWS
      8 OCT 28
                KOREAPAT now available on STN
NEWS
NEWS 9 NOV 18
                 Current-awareness alerts, saved answer sets, and current
                 search transcripts to be affected by CERAB, COMPUAB, ELCOM,
                 and SOLIDSTATE reloads
                PHAR reloaded with additional data
         NOV 30
NEWS 10
NEWS 11 DEC 01 LISA now available on STN
NEWS EXPRESS
              OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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              STN Operating Hours Plus Help Desk Availability
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              General Internet Information
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              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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 of commercial gateways or other similar uses is prohibited and may
 result in loss of user privileges and other penalties.
  FILE 'HOME' ENTERED AT 12:58:40 ON 08 DEC 2004
=> file registry
COST IN U.S. DOLLARS
                                               SINCE FILE
                                                               TOTAL
                                                    ENTRY
                                                             SESSION
FULL ESTIMATED COST
                                                     0.21
                                                                0.21
FILE 'REGISTRY' ENTERED AT 12:59:01 ON 08 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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```

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STRUCTURE FILE UPDATES: 6 DEC 2004 HIGHEST RN 793637-73-7 DICTIONARY FILE UPDATES: 6 DEC 2004 HIGHEST RN 793637-73-7

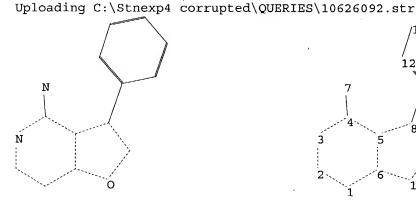
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

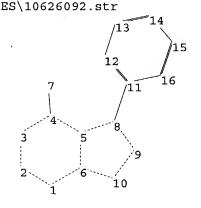
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>





chain nodes :

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 16

chain bonds : 4-7 8-11 ring bonds :

9-10 1-2 1-6 2-3 3 - 4 5-6 5 - 8 6-10 8-9 11-12 11-16 12-13 13-14

14-15 15-16

exact/norm bonds :

5-6 5-8 6-10 8-9 1-2 1-6 2-3 4-5 4 - 7

exact bonds :

8-11

normalized bonds :

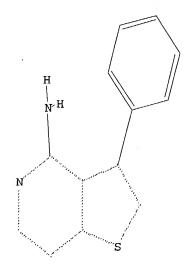
11-12 11-16 12-13 13-14 14-15 15-16

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:59:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 ful FULL SEARCH INITIATED 12:59:28 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 126 TO ITERATE

100.0% PROCESSED 126 ITERATIONS 0 ANSWERS

L3 0 SEA SSS FUL L1

SEARCH TIME: 00.00.01

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10626092.str

chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16

chain bonds : 4-7 8-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-10 8-9 9-10 11-12 11-16 12-13 13-14

14-15 15-16

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-8 6-10 8-9 9-10

exact bonds :

8-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

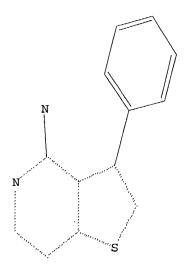
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:00:34 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED

5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH

\*\*COMPLETE\*\* 5 TO 234

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

0 TO 0

0 SEA SSS SAM L4

=> s 14 ful

FULL SEARCH INITIATED 13:00:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 126 TO ITERATE

100.0% PROCESSED

126 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L4

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL SESSION

FULL ESTIMATED COST

311.68 311.89

FILE 'REGISTRY' ENTERED AT 13:01:37 ON 08 DEC 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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10/626092

STRUCTURE FILE UPDATES: 6 DEC 2004 HIGHEST RN 793637-73-7 DICTIONARY FILE UPDATES: 6 DEC 2004 HIGHEST RN 793637-73-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

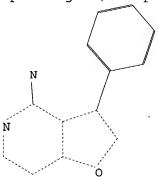
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Stnexp4 corrupted\QUERIES\10626092.str



chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16

chain bonds:

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-10 8-9 9-10 11-12 11-16 12-13 13-14

14-15 15-16

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-8 6-10 8-9 9-10

exact bonds :

8-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

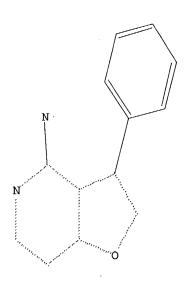
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

STRUCTURE UPLOADED

=> d 17

10/626092

L7 HAS NO ANSWERS L7 STR



Structure attributes must be viewed using STN Express query preparation.

 $\Rightarrow$  s 17

SAMPLE SEARCH INITIATED 13:02:04 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

1761 TO 3079

PROJECTED ANSWERS:

O TO

L8 0 SEA SSS SAM L7

=> s 17 ful

FULL SEARCH INITIATED 13:02:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2827 TO ITERATE

100.0% PROCESSED 2827 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L7

=> logoff y

L9

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 156.26 468.15

FULL ESTIMATED COST

STN INTERNATIONAL LOGOFF AT 13:03:15 ON 08 DEC 2004

#### => d abs bib hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AB Benzofused heterocyclic analogs of the RXR selective modulator 2,3,5-F(CH2)30(Me3C)2C6H2CMe:CHCH:CHCMe:CHCO2H (LG101506) were synthesized, and tested for their ability to bind RXR $\alpha$  and activate RXR homo and heterodimers. Potency and efficacy were observed to be dependent upon the choice of heterocycle as well as the side chain employed.

AN 2004:362555 CAPLUS

DN 141:106414

TI Design and synthesis of benzofused heterocyclic RXR modulators

AU Gernert, D. L.; Neel, D. A.; Boehm, M. F.; Leibowitz, M. D.; Mais, D. A.; Michellys, P. Y.; Rungta, D.; Reifel-Miller, A.; Grese, T. A.

CS Department of Medicinal Chemistry, Ligand Pharmaceuticals, Incorporated, San Diego, CA, 92121, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(11), 2759-2763 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 141:106414

IT 460086-79-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of arylheterocyclylbutenoic acids as retinoid X receptor modulators)

RN 460086-79-7 CAPLUS

CN 2-Butenoic acid, 3-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-c]pyridin-5-yl]- (9CI) (CA INDEX NAME)

IT 460087-70-1P 460087-71-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylheterocyclylbutenoic acids as retinoid X receptor modulators)

RN 460087-70-1 CAPLUS

CN Ethanone, 1-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-c]pyridin-5-yl]- (9CI) (CA INDEX NAME)

RN 460087-71-2 CAPLUS

CN 2-Butenoic acid, 3-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-c]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d abs bib hitstr 2-3

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Retinoid X receptor modulators, such as I [X = A-C(R5):C(R6)COR16; R = H, halogen, alkyl, haloalkyl, alkenyl, alkynyl, alkoxy, etc.; R1, R2 = H, alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, etc.; R3 = H, alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, amino, etc.; R4 = H, halogen, aryl, alkyl, alkoxy, etc.; R5 = H, halogen, alkyl; R6 = H, halogen; R16 = OH, alkoxy, amino, etc; A = heteroaryl], were prepared for therapeutic use as retinoid X receptor modulators. Thus, acid II was prepared via five synthetic steps starting from 5-bromosalicylaldehyde, 5-Et-2-(MeOCH2O)-3-(CMe3)-C6H2-B(OH)2, ClCH2COMe, and tri-Et phosphonoacetate. The prepared compds. were evaluated for RXR:RAR antagonist activity and PPARα agonist activity.

AN 2002:715986 CAPLUS

DN 137:247598

TI Preparation of retinoid X receptor modulators

IN Gardinier, Kevin Matthew; Gernert, Douglas Linn; Grese, Timothy Alan; Neel, David Andrew; Mapes, Christopher M.; Michellys, Pierre-Yves; Boehm, Marcus F.

PA Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated

SO PCT Int. Appl., 294 pp.

CODEN: PIXXD2 DTPatent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_ \_ \_ \_ ----------PΙ WO 2002071827 A2 20020919 WO 2002-US8292 20020314 WO 2002071827 Α3 20030410 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2438586 AA 20020919 CA 2002-2438586 20020314 EP 1373240 A2 20040102 EP 2002-728502 20020314 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20041021 JP 2004532194 T2 JP 2002-570800 20020314 US 2004167160 A1 . 20040826 US 2004-471330 20040116 PRAI US 2001-275885P Р 20010314 WO 2002-US8292 W 20020314 os MARPAT 137:247598 IT 460086-79-7P RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of retinoid X receptor modulators for therapeutic use) RN460086-79-7 CAPLUS 2-Butenoic acid, 3-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-CN

c]pyridin-5-yl]- (9CI) (CA INDEX NAME)

IT 460087-70-1P 460087-71-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of retinoid X receptor modulators for therapeutic use)

RN 460087-70-1 CAPLUS

CN Ethanone, 1-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-c]pyridin-5-yl]- (9CI) (CA INDEX NAME)

RN 460087-71-2 CAPLUS

CN 2-Butenoic acid, 3-[3-[2-ethoxy-3,5-bis(1-methylethyl)phenyl]thieno[2,3-c]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Seven title compds. I [R = NHMe, NMe2, NHEt, NH(CH2)nOH, 4-methylpiperazino; n = 2-4], substituted in the 4-position with different amino-containing groups have been prepared The reaction route to these compds. consisted of a palladium(0)-catalyzed cross-coupling between 1-bromothieno[2,3-c]-1,5-naphthyridine (II) and 2-MeC6H4SnMe3, oxidation of the 5-nitrogen, followed by treatment with thionyl chloride to give the 4-chloro derivative The compds. obtained after nucleophilic substitution were tested was regard to their effects on H+,K+-ATPase activity and on acid formation in gastric glands. However, the inhibitory potency in vitro of the substituted naphthyridines was not high enough to be of interest from a pharmacol. point of view.

AN 1996:380979 CAPLUS

DN 125:142606

TI 4-Substituted 1-(2-methylphenyl)thieno[2,3-c]-1,5-naphthyridines as possible reversible inhibitors of gastric H+,K+-ATPase

AU Bjoerk, P.; Hoernfeldt, A. B.; Gronowitz, S.; Edvardsson, U.

CS Org. Chem., Lund Univ., Lund, 221 00, Swed.

SO European Journal of Medicinal Chemistry (1996), 31(5), 411-416 CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier

DT Journal

LA English

IT 180057-12-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino-substituted (methylphenyl)thienonaphthyridines as reversible inhibitors of gastric ATPase)

RN 180057-12-9 CAPLUS

CN Thieno[2,3-c]-1,5-naphthyridine, 6-chloro-9-(2-methylphenyl)- (9CI) (CA INDEX NAME)

IT 180057-15-2P 180057-16-3P 180057-17-4P 180057-18-5P 180057-19-6P 180057-20-9P

180057-18-5P 180057-19 180057-21-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of amino-substituted (methylphenyl)thienonaphthyridines as reversible inhibitors of gastric ATPase)

RN 180057-15-2 CAPLUS

CN Thieno[2,3-c]-1,5-naphthyridin-6-amine, N-methyl-9-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 180057-16-3 CAPLUS

CN Thieno[2,3-c]-1,5-naphthyridin-6-amine, N,N-dimethyl-9-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 180057-17-4 CAPLUS
CN Thieno[2,3-c]-1,5-naphthyridin-6-amine, N-ethyl-9-(2-methylphenyl)- (9CI)
(CA INDEX NAME)

RN 180057-18-5 CAPLUS
CN Ethanol, 2-[[9-(2-methylphenyl)thieno[2,3-c]-1,5-naphthyridin-6-yl]amino](9CI) (CA INDEX NAME)

RN 180057-19-6 CAPLUS
CN 1-Propanol, 3-[[9-(2-methylphenyl)thieno[2,3-c]-1,5-naphthyridin-6-yl]amino]- (9CI) (CA INDEX NAME)

 $HO-(CH_2)_3-NH$ 

RN 180057-20-9 CAPLUS

CN 1-Butanol, 4-[[9-(2-methylphenyl)thieno[2,3-c]-1,5-naphthyridin-6-yl]amino]- (9CI) (CA INDEX NAME)

 ${\tt HO^-}$  (CH<sub>2</sub>)<sub>4</sub> $-{\tt NH}$ 

RN 180057-21-0 CAPLUS

CN Thieno[2,3-c]-1,5-naphthyridine, 9-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

IT 180057-13-0P 180057-14-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino-substituted (methylphenyl)thienonaphthyridines as reversible inhibitors of gastric ATPase)

RN 180057-13-0 CAPLUS

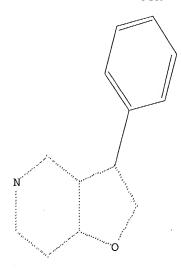
CN Thieno[2,3-c]-1,5-naphthyridine, 9-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 180057-14-1 CAPLUS
CN Thieno[2,3-c]-1,5-naphthyridine, 9-(2-methylphenyl)-, 5-oxide (9CI) (CA INDEX NAME)

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:14:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1248 TO 239

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

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FULL SEARCH INITIATED 13:14:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1964 TO ITERATE

100.0% PROCESSED 1964 ITERATIONS 100 ANSWERS

SEARCH TIME: 00.00.01

L3 100 SEA SSS FUL L1

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FULL ESTIMATED COST SESSION 155.42 155.63

FILE 'CAPLUS' ENTERED AT 13:14:40 ON 08 DEC 2004

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FILE COVERS 1907 - 8 Dec 2004 VOL 141 ISS 24 FILE LAST UPDATED: 7 Dec 2004 (20041207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

The reactions of 2-amino-4,5-dihydro-3-furancarboxamides with cyanomethylene compds. (such as alkyl cyanoacetates and malononitrile) gave the corresponding ring-opened products HOCHR2CHR1CH(CONH2)C(NH2):C(CN)CO2R3 (I, R1, R2 = H, Ph; R3 = Me, Et) and HOCHR2CHR1CH(CONH2)C(NH2):C(CN)2 (II, R1, R2 = H, Ph). I reacted with methanesulfonic acid to give the corresponding α-alkylidene-γ-butyrolactones. On the other hand, treatment of II with methanesulfonic acid yielded 3-pyridinecarbonitrile derivs.

AN 2004:734303 CAPLUS

DN 141:366085

TI Synthesis of  $\alpha$ -alkylidene- $\gamma$ -butyrolactones via ring-cleavage/recyclization of 2-amino-4,5-dihydro-3-furancarboxamides AU Okabe, Fumi; Tagawa, Yoshinobu; Yamagata, Kenji

CS Faculty of Pharmaceutical Sciences, Fukuoka University, Fukuoka, 814-0180, Japan

SO Journal of Heterocyclic Chemistry (2004), 41(4), 505-508 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

IT 778602-87-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of  $\alpha$ -alkylidene- $\gamma$ -butyrolactones via ring-cleavage/recyclization of 2-amino-4,5-dihydro-3-furancarboxamides) 778602-87-2 CAPLUS

RN 778602-87-2 CAPLUS
CN Furo[3,2-c]pyridine-7-carbonitrile, 6-amino-2,3,4,5-tetrahydro-4-oxo-3-phenyl- (9CI) (CA INDEX NAME)

$$H_2N$$
 $O$ 
 $Ph$ 

# RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN T.4 11-Chloro-6H-[2]-benzopyrano-[4,3-c]quinolin-6-ones /4-chloro-2,3-diphenyl-AB furo [3,2-c] quinoline are obtained via the interaction of 6H-[2]-benzopyran [4,3-c]-quinoline-6,11-[12]-dione/ 2,3-diphenyl-furo[3,2-c]-quinolin-4-(5H) -one with a mixture of phosphorus pentachloride and phosphorus oxychloride. These chloro derivs. are further treated with sodium azide, o-phenylenediamine, anthranilic acid and benzoic acid hydrazide sep. to afford novel heterocyclic compds. 11-methyl-1,2,4-tetrazolo [1', 5', 1, 2] quinolino [4,3-c]-benzopyran-8-ones/8-methyl-4,5-diphenyl-1,2,4tetrazolo-[1',5':1,2]-furo[3,2-c]-quinolines, 13-methyl-imidazolo -[3',2':1,2]-quinolino-[4,3-c]-benzopyran-10-ones/ 10-methyl-6,7-diphenylimidazolo [3',2':1,2]-furo[3,2-c]-quinolines, 13-methyl-quinazilono-[3', 2', 1,2] quinolino [4,3-c]-benzopyran-10,16-diones/ 10-methyl-6,7-diphenylquinazilonò [3', 2', 1,2]-furo[3,2-c]quinolin-13-ones, 11-methyl-1-phenyl-triazolo- [3',4':1,2]quinolino [4,3-c]benzopyran-8-ones/ 8-methyl-1-phenyl-4,5-diphenyl-triazolo [3',4':1,2]furo-[3,2-c]-quinolines resp. Some of these compds. have also been screened for their biol. activity. AN 2003:854934 CAPLUS DN 140:287359 TT Synthesis of novel heterocyclic compounds from 6H-[2]-benzopyrano-[4,3c]quinolin-6-one and 2,3-diphenyl furo-[3,2-c]-quinolin-4-(5H)-one AII Mulwad, V. V.; Lohar, Manojkumar V. CS Department of Chemistry, The Institute of Science, Mumbai, 400 032, India SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2003), 42B(10), 2567-2572 CODEN: IJSBDB; ISSN: 0376-4699 PB National Institute of Science Communication DTJournal LA English TT 675597-83-8P RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antibacterial activity of heterocyclic compds. from benzopyranoquinolinone and furoquinolinone derivs.) RN675597-83-8 CAPLUS CN Furo [3,2-c] tetrazolo [1,5-a] quinoline, 7-methyl-10,11-diphenyl- (9CI)

INDEX NAME)

# RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AB The quinone methides generated in situ from 4-hydroxycoumarin or 4-hydroxy-6-methylpyrone and various aldehydes underwent facile reaction with cyclohexyl isocyanide to produce furocoumarins in good yields. Quinone methides from 4-hydroxy-1-methylquinolinone afforded furoquinolinones. The reaction presumably occurs via a [4+1] cycloaddn. followed by a [1,3] H shift.

AN 2002:175723 CAPLUS

DN 137:201243

TI A facile three-component reaction involving [4+1] cycloaddition leading to furan annulated heterocycles

AU Nair, Vijay; Menon, Rajeev S.; Vinod, A. U.; Viji, S.

CS Organic Chemistry Division, Regional Research Laboratory, Trivandrum, 695 019, India

SO Tetrahedron Letters (2002), 43(12), 2293-2295 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:201243

IT 454479-59-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (three-component [4+1]cycloaddn. of hydroxypyranones or hydroxyquinolinones with aldehydes and isocyanide)

RN 454479-59-5 CAPLUS

CN Furo[3,2-c]quinolin-4(5H)-one, 2-(cyclohexylamino)-5-methyl-3-(4nitrophenyl)- (9CI) (CA INDEX NAME)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

- AB: A series of cinnamoylfuroquinolines is described. These compds. were evaluated for their anti microbial activity. The starting materials, 2-methyl-3-benzoyl-4-hydroxy-2,8-dimethyl-3-benzoyl-4-hydroxyquinolines, are prepared in >80-90% yields under microwave irradiation (300 W) within 3 min in a domestic oven.
- AN 2000:806044 CAPLUS
- DN 134:100785
- TI Facile synthesis of 2-cinnamoyl-4-methyl/4,6 dimethyl-3-phenylfuro[3,2-c]quinolines as marked antimicrobial agents
- AU Reddy, Y. Thirupathi; Rao, M. Kanakalingeswara; Rajitha, B.
- CS Department of Chemistry, Regional Engineering College, Warangal, India
- SO Heterocyclic Communications (2000), 6(4), 351-356 CODEN: HCOMEX; ISSN: 0793-0283
- PB Freund Publishing House Ltd.
- DT Journal
- LA English
- OS CASREACT 134:100785
- IT 320410-98-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of cinnamoylfuroquinolines as antimicrobial agents)

- RN 320410-98-8 CAPLUS
- CN 2-Propen-1-one, 1-(4-methyl-3-phenylfuro[3,2-c]quinolin-2-yl)-3-phenyl-(9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN GI

$$R^{1}$$
 $R^{2}$ 
 $A$ 
 $Q^{1}$ 
 $B$ 
 $C$ 
 $E$ 
 $C$ 
 $E$ 
 $C$ 
 $E$ 

Title compds. [I; Q, Q1 = null, CH2; A = O, NR', SR'; B = O, NR', SR', CHR'; E = O, NR'', SR'', CHR''; E = O, NR'', SR'', CHR'', (substituted) aralkyl; R', R'' = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R1, R2 = H, alkyl, (substituted) aryl, heteroaryl, aralkyl, heteroarylalkyl], were prepared Thus, 2-[3-(1-butyl-4-piperidonyl)]-p-nitroacetophenone (preparation given) was refluxed 3 h in hydrochloric acid to give 35% 5-butyl-2-(4-nitrophenyl)-4,5,6,7-tetrahydrofuro[3,2-c]pyridine fumarate salt. The latter showed a potent dose-dependent rescue of differentiated PC12 cells with maximal

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protection at 100 nM.
AN
     2000:513701 CAPLUS
DN
     133:120320
ΤI
     Preparation of furopyridines and related compounds with neurotrophic
     activity.
     Peters, Dan; Gronborg, Mette; Moller, Arne
IN
     Neurosearch A/S, Den.
PA
     PCT Int. Appl., 33 pp.
SO
     CODEN: PIXXD2
DT
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LA
     English
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                                19990119
     WO 2000-DK12
                                20000113
os
     MARPAT 133:120320
IT
     285547-56-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of furopyridines and related compds. with neurotrophic
        activity)
RN
     285547-56-0 CAPLUS
CN
     Furo[3,2-c]pyridine, 5-butyl-4,5,6,7-tetrahydro-3-phenyl- (9CI) (CA INDEX
    NAME)
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RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

GI

$$\begin{array}{c|c}
R & C & R^1 \\
\hline
 & R^1 & C & R^1
\end{array}$$

The condensation of 4-hydroxy-2-quinolones with benzoin and anisoin in presence of polyphosphoric acid gave 2,3-diarylfuro[3,2-c]quinoline-4-ones I (R = Me, Et, Ph; R1 = H, OMe).

AN 1996:512486 CAPLUS

DN 125:221743

TI An interesting reaction of N-substituted-4-hydroxy-2-quinolone with benzoins

AU Mulwad, V.V.; Suryanarayan, V.

CS Department of Organic Chemistry, Institute of Science, Bombay, 400 032, India

SO Indian Journal of Heterocyclic Chemistry (1996), 5(4), 321-322 CODEN: IJCHEI; ISSN: 0971-1627

PB Lucknow University, Dep. of Chemistry

DT Journal

RN

LA English

IT 180783-78-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of furoquinolinones from benzoins and hydroxyquinolinones)

CN Furo[3,2-c]quinolin-4(5H)-one, 5-methyl-2,3-diphenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & O & \\ \hline Ph & N & \\ \hline N & Me & \\ \end{array}$$

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Title compds. I (R = Me, Ph; R1 = H, Me, Cl) were prepared in 41-56% yield by cyclodehydration of enol ethers II in polyphosphoric acid at 120°. II were obtained by phenacylation of 4-hydroxy-2(1H)-quinolinones.

AN 1990:216732 CAPLUS

DN 112:216732

TI A convenient synthesis of 3-aryl-4-oxo-4,5-dihydrofuro[3,2-c]quinolines

AU Rao, V. Sudhakar; Darbarwar, Malleshwar

CS Dep. Chem., Osmania Univ., Hyderabad, 500 007, India

SO Synthetic Communications (1989), 19(15), 2713-19 CODEN: SYNCAV; ISSN: 0039-7911

DT Journal

LA English

OS CASREACT 112:216732

IT 126936-76-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 126936-76-3 CAPLUS

CN Furo[3,2-c]quinolin-4(5H)-one, 5-methyl-3-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Cyclocondensation of 3-benzoyl-4-quinolinols I (R = H, Me) with p-R1C6H4COCH2Br (R1 = H, Ph, Cl, MeO) gives aroylfuroquinolines II.

Demethylation of II (R = H, Me; R1 = OMe) followed by substitution reactions with R2CHCH2C1.HCl (R2 = Et2N, pyrrolidino, piperidino, morpholino) gives II (R = H, Me; R1 = OCH2CH2R2). II were tested for antifertility, analgesic, and antiinflammatory activity. II (R = H, R1 = OCH2CH2R2, R2 = pyrrolidino) shows higher antiinflammatory activity than aspirin.

AN 1988:21749 CAPLUS

DN 108:21749

- TI Synthesis and biological activity of furoquinolines: 2-aroyl-4-methyl/4,6-dimethyl-3-phenylfuro[3,2-c]quinolines
- AU Sharada, J.; Kumari, Y. Ratna; Rao, M. Kanakalingeswara

CS Dep. Chem., Reg. Eng. Coll., Warangal, 506 004, India

SO Indian Journal of Pharmaceutical Sciences (1987), 49(1), 17-21 CODEN: IJSIDW; ISSN: 0250-474X

DT Journal

LA English

OS CASREACT 108:21749

IT 111947-03-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antifertility, analgesic, and antiinflammatory activity of)

RN 111947-03-6 CAPLUS

CN Methanone, (4-methyl-3-phenylfuro[3,2-c]quinolin-2-yl)phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN GI

The title compds. [I; R1 = Me, naphthyl, (un)substituted Ph; R2 = H, Me, Ph; R3 = H, PhCH2] were prepared as antidepressants and antiischemics. Thus, 1-benzyl-4-piperidinone was condensed with pyrrolidine and the product enamine was alkylated with 2-bromo-1-(2-naphthyl)ethanone to give piperidinone II. This was cyclized by refluxing in concentrated HCl to give I (R1 = 2-naphthyl, R2 = H, R3 = CH2Ph). At 10-60 mg/kg i.p. I increased survival time of mice subjected to MgCl2-induced cardiac arrest.

AN 1986:591057 CAPLUS

DN 105:191057

TI Furo[3,2-c]pyridines and their therapeutic use

Wick, Alexander; Frost, Jonathan; Bertin, Jean IN Synthelabo S. A. , Fr. PA Fr. Demande, 21 pp. SO CODEN: FRXXBL DTPatent LΑ French FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------PΙ FR 2570701 A1 19860328 FR 1984-14842 19840927 FR 2570701 B1 19870522 EP 178201 EP 1985-401732 A1 19860416 19850906 EP 178201 B1 19890201 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 40554 E AT 1985-401732 19890215 19850906 ES 547315 Α1 ES 1985-547315 19860316 19850926 19860328 DK 8504352 Α DK 1985-4352 19850926 DK 158953 В 19900806 DK 158953 C 19910114 FI 8503719 Α 19860328 FI 1985-3719 19850926 FI 82054 В 19900928 FI 82054 C 19910110 NO 8503782 Α NO 1985-3782 19860401 19850926 NO 162821 В 19891113 NO 162821 C 19900221 JP 61106577 A2 19860524 JP 1985-214460 19850926 ZA 8507457 Α 19860528 ZA 1985-7457 19850926 HU 38945 A2 19860728 HU 1985-3694 19850926 HU 192365 В 19870528 US 4661498 Α 19870428 US 1985-780453 19850926 CA 1291994 Α1 19911112 CA 1985-491635 19850926 AU 8547952 **A1** 19860410 AU 1985-47952 19850927 AU 573390 B2 19880609 IL 76535 A1 19880831 IL 1985-76535 .19850929 PRAI FR 1984-14842 Α 19840927 EP 1985-401732 Α 19850906 os CASREACT 105:191057 IT 104916-03-2P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antidepressant and antiischemic) RN 104916-03-2 CAPLUS CN Furo [3,2-c] pyridine, 4,5,6,7-tetrahydro-2-methyl-3-phenyl-5-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

HC1

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

GI

AB Refluxing arylazetidinones I (R = H, MeO; R1 = Me, Et) in F3CCO2H gave 55-60% furoquinolines II along with the corresponding 4,5-dihydro intermediates.

AN 1981:442950 CAPLUS

DN 95:42950

TI Formation of furo[3,2-c] quinoline derivatives through the Fries-type acid-catalyzed rearrangement of 1-arylazetidin-2-ones

AU Kano, Shinzo; Shibuya, Shiroshi; Ebata, Tsutomu

CS Tokyo Coll. Pharm., Tokyo, 192-03, Japan

SO Heterocycles (1981), 15(2), 1011-15 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 95:42950

IT 78225-32-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 78225-32-8 CAPLUS

CN Furo[3,2-c]quinoline, 2-methyl-3-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

GI For diagram(s), see printed CA Issue.

AB cf. CA 58, 11337d. Furan derivs. were prepared from Ph(HC.tplbond.C)CHOH and cyclic β-dicarbonyl compds. in the presence of concentrated H2SO4 or BF3-Et2O in glacial AcOH, 30 min. at 100°. Thus prepared were: 75% I, m. 268° (decomposition), from barbituric acid; 85% II, m. 147-8°, from 1,3-indandione; 67% III, m. 199°, from 4-hydroxycoumarin; 60% IV, m. 264°, from 4-hydroxycarbostyril.

AN 1963:415609 CAPLUS

DN 59:15609

OREF 59:2815f-h

TI Furans and pyrans. IV. Preparation of condensed furan derivatives

AU Reisch, J.

CS Univ. Muenster, Germany

SO Angew. Chem. (1962), 74(20), 783

DT Journal LA Unavailable

IT 88893-96-3, Furo[3,2-c]quinolin-4(5H)-one, 2-methyl-3-phenyl-

(preparation of)

RN 88893-96-3 CAPLUS

CN Furo[3,2-c]quinolin-4(5H)-one, 2-methyl-3-phenyl- (7CI) (CA INDEX NAME)

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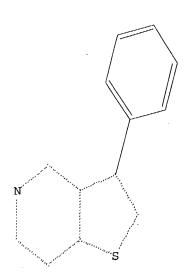
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L5 STRUCTURE UPLOADED

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FULL SEARCH INITIATED 13:16:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1452 TO ITERATE

100.0% PROCESSED 1452 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

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7 SEA SSS FUL L5

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	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
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FILE COVERS 1907 - 8 Dec 2004 VOL 141 ISS 24 FILE LAST UPDATED: 7 Dec 2004 (20041207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L7

3 L6

=> d abs bib hitstr 1-3

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN GI

Title compds. I [wherein R1 = H, COOH, CN, COOR, (un) substituted CONH2, AB C(:NH)NH2, (CH2)mR5; R = (un)substituted alkyl, (CH2)alkenyl, aryl, arylalkyl; R5 = COOH and derivs., CN, OH, NH2 and derivs., OH and derivs.; m = 1-2; R3CCR4 = (un) substituted Ph, 5-6 membered heterocyclyl; or R4 = H, (CH2)n1R5; n1 = 0-2; and R1CCR3 = (un)substituted Ph, 5-6 memberedheterocyclyl; R2 = H, halo, R, OR, NHCOR, etc.; X = -C(:O) - B - ; B =-O(CH2)n2-, -NH-O- and derivs., -NH-(CH2)n2- and derivs.; n2 = O-1; n = O-11-2; and their pharmaceutically acceptable salts] were prepared as inhibitors for beta-lactamases produced by pathogenic bacteria. For example, trans-II TEA (i.e., exo isomer) was prepared by carbonylation of aminopyridine III with diphosgene in the presence of MeCN/TEA, alkylation with benzyl bromide, OBn deprotection and sulfonation with pyridine-SO3. II exhibited IC50 values of 3 nM and 5 nM for inhibition of  $\beta$ -lactamases Tem-1 and P99, resp. In tests against various resistant strains of, e.g., S. aureus, selected I exhibited MIC values in the range

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of 0.3-40 µg/mL. Thus, pharmaceutical compns. of I and
      \beta-lactamine antibiotics are useful for treatment of bacterial
      infections.
AN
      2004:472092 CAPLUS
DN
      141:38636
TI
      Preparation of fused-ring diazepines as anti-bacterial drugs and
      inhibitors of beta-lactamases
IN
      Lampilas, Maxime; Musicki, Branislav; Klich, Michel; Rowlands, David Alan
PA
      Aventis Pharma Sa, Fr.
SO
      Fr. Demande, 185 pp.
      CODEN: FRXXBL
DT
      Patent
LΑ
      French
FAN.CNT 1
      PATENT NO.
                             KIND
                                                    APPLICATION NO.
                                      DATE
                                                                               DATE
                              ----
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                                                    -----
PI
      FR 2848210
                              A1
                                      20040611
                                                    FR 2002-15428
                                                                               20021206
      WO 2004052891
                              A1
                                      20040624
                                                    WO 2003-FR3523
                                                                               20031128
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TD, COCALETACO
      US 2004157826
                                                   US 2003-727911
                              Α1
                                      20040812
PRAI FR 2002-15428
                              Α
                                      20021206
      US 2003-484323P
                              Р
                                      20030702
      MARPAT 141:38636
OS
      704200-35-1P, Ethyl trans-3-(4-fluorophenyl)-4,6,7,8-tetrahydro-6-
IT
      oxo-7-(phenylmethoxy)-5,8-methano-5H-thieno[2,3-e][1,3]diazepine-4-
      carboxylate 704200-36-2P, trans-Ethyl 3-(4-fluorophenyl)-4,6,7,8-
      tetrahydro-7-hydroxy-6-oxo-5,8-methano-5H-thieno[2,3-e][1,3]diazepine-4-
      carboxylate
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
         (intermediate; preparation of fused-ring diazepines as inhibitors of
         β-lactamases)
      704200-35-1 CAPLUS
RN
      5,8-Methano-5H-thieno[2,3-e][1,3]diazepine-4-carboxylic acid,
CN
      3-(4-fluorophenyl)-4,6,7,8-tetrahydro-6-oxo-7-(phenylmethoxy)-, ethyl
      ester, (4R,5R,8S)-rel- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

RN 704200-36-2 CAPLUS CN 5,8-Methano-5H-thieno[2,3

5,8-Methano-5H-thieno[2,3-e][1,3]diazepine-4-carboxylic acid, 3-(4-fluorophenyl)-4,6,7,8-tetrahydro-7-hydroxy-6-oxo-, ethyl ester, (4R,5R,8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

TT 704200-25-9P, trans-Ethyl 3-(4-fluorophenyl)-4,6,7,8-tetrahydro-6-oxo-7-(sulfooxy)-5,8-methano-5H-thieno[2,3-e][1,3]diazepine-4-carboxylate sodium salt

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $(\beta\text{-lactamases}$  inhibitor; preparation of fused-ring diazepines as inhibitors of  $\beta\text{-lactamases})$ 

RN 704200-25-9 CAPLUS

CN 5,8-Methano-5H-thieno[2,3-e][1,3]diazepine-4-carboxylic acid, 3-(4-fluorophenyl)-4,6,7,8-tetrahydro-6-oxo-7-(sulfooxy)-, 4-ethyl ester, sodium salt, (4R,5R,8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Na

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN GI

$$R^3$$
 $R^3$ 
 $R^3$ 

About 10 pyrazolothienopyridines I (R, R2 = H, Et; R1 = OH, OEt, BuNH, H2NNH, Ph), useful as inflammation inhibitors, central nervous system depressants, and with an ability to increase the intracellular concentration of adenosine-3',5'-cyclic monophosphate and therefore useful in alleviating the symptoms of asthma (dosages given but not activity), were prepared Thus, 1-ethyl-5-aminopyrazole was heated with EtOCH:C(CO2Et)2 at 120° for 2 hr and the product was cyclized by heating in Ph2O at 235-50° to give II (R3 = OH). This was converted to II (R3 = C1) and then treated with HSCH2CO2Et in DMF containing Et3N to give II (R3 = EtO2CCH2S), which on heating with NaH in dioxane at reflux cyclized to I (R = R2 = Et; R1 = OH).

- AN 1976:446662 CAPLUS
- DN 85:46662
- TI Derivatives of pyrazolo[3,4-b]thieno[2,3-d]pyridine-2-carboxylic acids
- IN Denzel, Theodor; Hoehn, Hans
- PA E. R. Squibb and Sons, Inc., USA
- SO U.S., 8 pp. Division of U.S. 3,887,570.

CODEN: USXXAM

DT Patent LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	US 3928362	Α	19751223	US 1975-551810	19750221	
	US 3887570	, A	19750603	US 1973-401798	19730928	
	CA 1050027	A1	19790306	CA 1974-208888	19740910	
	GB 1479778	Α	19770713	GB 1974-40030	19740913	
	FR 2246271	A1	19750502	FR 1974-32707	19740927	
	JP 50059395	A2	19750522	JP 1974-112220	19740928	
PRAI	US 1973-401798		19730928			

IT 56200-46-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 56200-46-5 CAPLUS

CN 6H-Pyrazolo[3,4-b]thieno[2,3-d]pyridine-2-carboxylic acid, 6-ethyl-3-phenyl- (9CI) (CA INDEX NAME)

(preparation and hydrolysis of)

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of

- L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
- GI For diagram(s), see printed CA Issue.
- Tranquilizing antiinflammatory (no data) acids I (R = H, R1 = OEt, Ph; R = Et, R1 = NHBu, NHN:CMe2) were prepared Thus, II (R2 = H) was treated with EtOCH:C(CO2Et)2, II [R2 = CH:C(CO2Et)2] cyclized, III (R3 = OH) chlorinated and treated with HSCH2CO2Et, III (R3 = SCH2CO2Et) cyclized with base, I (R = Et, R1 = OH) treated with EtI, and I (R = Et, R1 = OEt) hydrolyzed to I (R = H, R1 = OEt).
- AN 1975:458814 CAPLUS
- DN 83:58814
- TI Pyrazolo[3,4-b]thieno[2,3-d]pyridine-2-carboxylic acids and esters
- IN Denzel, Theodor
- PA Chemische Fabrik von Heyden G.m.b.H., Fed. Rep. Ger.
- SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2446495	A1	19750410	DE 1974-2446495	19740928
	US 3887570	Α	19750603	US 1973-401798	19730928
	CA 1050027	A1	19790306	CA 1974-208888	19740910
	GB 1479778	Α	19770713	GB 1974-40030	19740913
	FR 2246271	A1	19750502	FR 1974-32707	19740927

JP 50059395

A2 19750522

JP 1974-112220

19740928

PRAI US 1973-401798

19730928

IT 56200-45-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 56200-45-4 CAPLUS

CN 6H-Pyrazolo[3,4-b]thieno[2,3-d]pyridine-2-carboxylic acid, 6-ethyl-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

IT 56200-46-5P

RN 56200-46-5 CAPLUS

CN 6H-Pyrazolo[3,4-b]thieno[2,3-d]pyridine-2-carboxylic acid, 6-ethyl-3-phenyl- (9CI) (CA INDEX NAME)